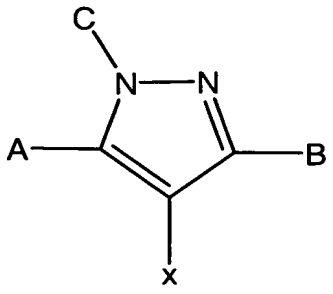
Claims

1. A method of modulating ABC transporter activity comprising the step of contacting said ABC transporter with a compound of formula (I):



(I)

or a pharmaceutically acceptable salt thereof; wherein:

A and B are independently selected from aryl, heterocyclic, heteroaryl, or cycloaliphatic ring;

C is H, aryl, heterocyclic, heteroaryl, cycloaliphatic, aliphatic, C(O) R^2, C(O) R^3, $C(O)NH_2$, C(O)NH R^2, C(O)NHR^3, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X is H, $(CH_2)_n$-Y, R^2, R^3, R^4, R^5, or R^6;

wherein each of A, B, C, and X optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$ or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched

alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

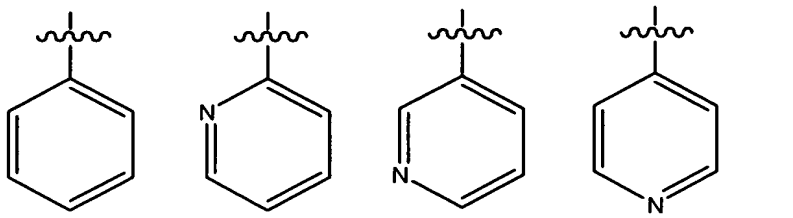
R^8 is an amino protecting group.

2. The method according to claim 1, wherein each of C and X is H.

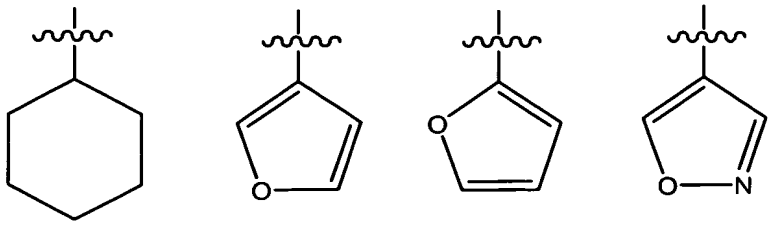
3. The method according to claim 2, wherein A and B are independently optionally substituted aryl or heteroaryl.

4. The method according to claim 3, wherein A and B are independently selected from optionally substituted phenyl, pyrazolyl, pyridyl, thiazolyl, oxazolyl, thiophenyl, or furanyl.

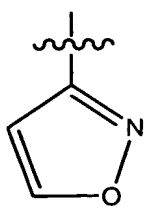
5. The method according to claim 1, wherein B is selected from optionally substituted ring systems:



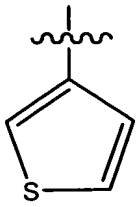
(a) (b) (c) (d)



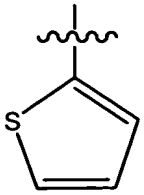
(e) (f) (g) (h)



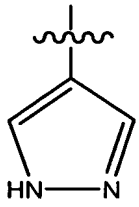
(i)



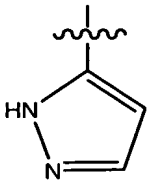
(j)



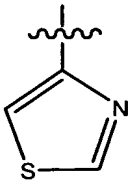
(k)



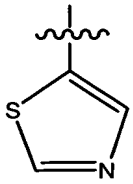
(l)



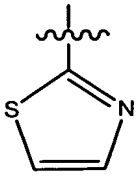
(m)



(n)

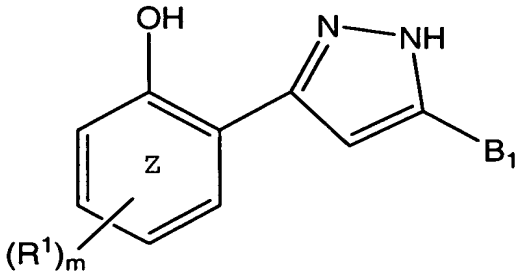


(o)



(p)

6. The method according to claim 1, wherein said formula (IA):

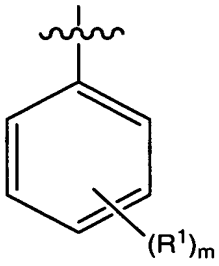


(IA);

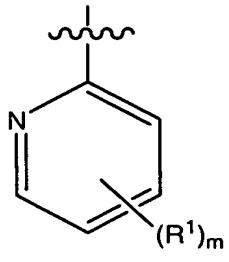
wherein:

m is 0 to 3;

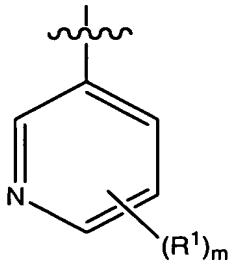
B_1 is selected from:



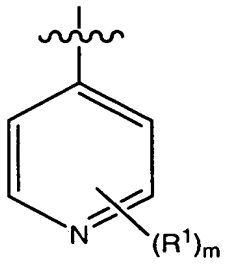
(a)



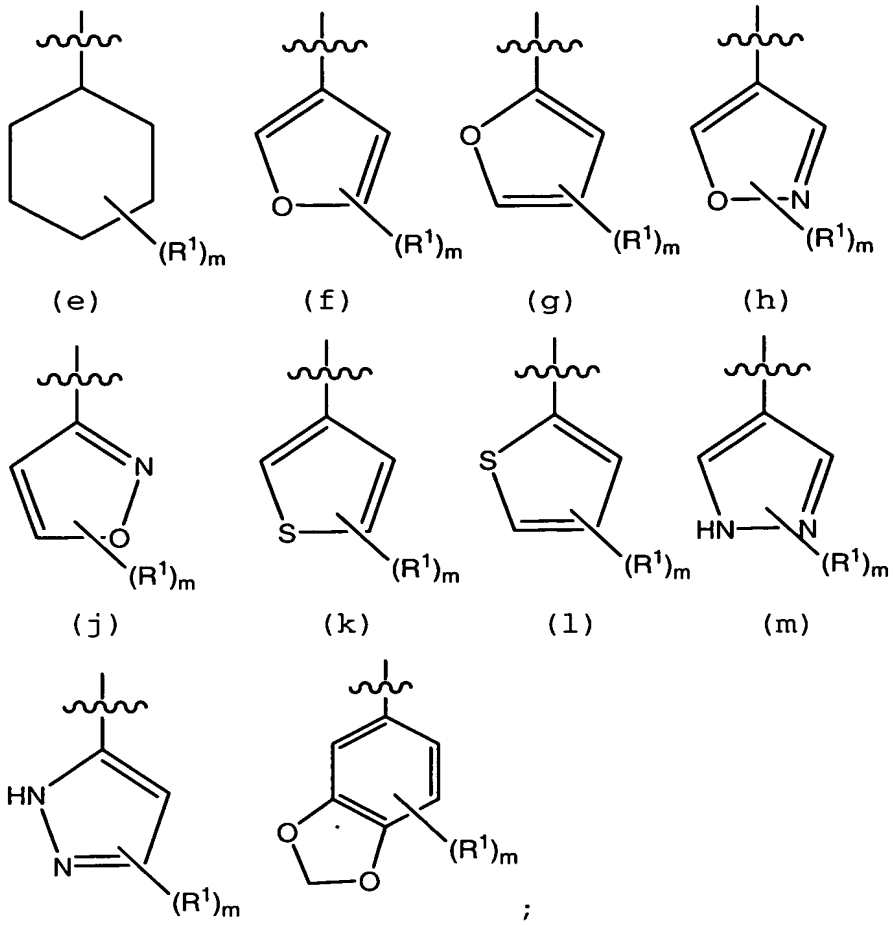
(b)



(c)



(d)



(e) (f) (g) (h)

(j) (k) (l) (m)

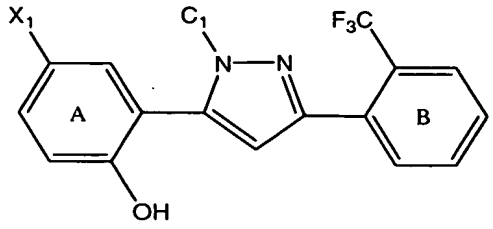
(o) (o);

wherein B_1 and ring Z are substituted with up to 2 substituents selected from R^2, R^3,or R^4.

7. The method according to any one of claims 6, wherein R^1 is selected from halo, CF_3, NH_2, NH(C1-C6 alkyl), $NHC(O)CH_3$, OH, O(C1-C6 alkyl), OPh, O-benzyl, S-(C1-C6 alkyl), C1-C6 alkyl, NO_2, CN, methylenedioxy, ethylenedixoy, SO_2NH(C1-C6 alkyl), or SO_2N(C1-C6 alkyl)$_2$.

8. The method according to claim 1, wherein said compound is selected compounds IA-1 to IA-139 in Table 1 compound I-1 to I-21 in Table 2.

9. The method according to claim 1, wherein said compound has formula (II):



(II);

or a pharmaceutically acceptable salt thereof, wherein:

C_1 is H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_1 is selected from halo, R^2, CF_3, CN, COOH, COOR, C(O)R, $C(O)NH_2$, C(O)NHR, or $C(O)N(R)_2$;

each R is independently R^2 or R^3;

wherein each of ring B, optionally including X_1 and OH, and C_1 optionally comprises up to 4 substituents, and ring A optionally comprises up to 3 substituents, wherein said substituents are independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CF_3, CHF_2, CH_2F, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$ or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, $N(aliphatic)_2$,

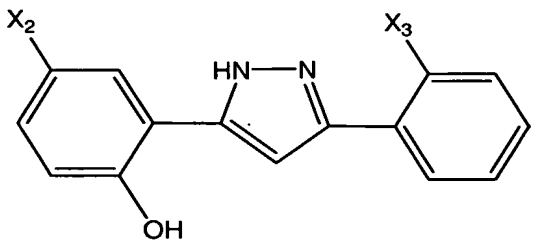
N(aliphatic)R^8, COOH, C(O)O(-aliphatic), or O-aliphatic; and

R^8 is an amino protecting group.

10. The method according to claim 9, wherein C_1 is H.

11. The method according to claim 10, wherein X_1 is selected from (C1-C4)-aliphatic, or C(O)-NH_2.

12. The method according to claim 1, wherein said compound has formula provides a compound having formula (III):



(III);

or a pharmaceutically acceptable salt thereof, wherein:

X_2 is selected from halo, R^2, CF_3, CN, COOH, $COOR^2$, $COOR^3$, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, C(O)NHR, or $C(O)NR^2$;

X_3 is selected from H, halo, CF_3, or NO_2;

each R is independently R^2 or R^3;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$ or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2

substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

R^8 is an amino protecting group;

provided that:

(i) when X_3 is H, then X_2 is not methyl, chloro, or bromo;

(ii) when X_2 is chloro, then X_3 is not fluoro, chloro, or nitro;

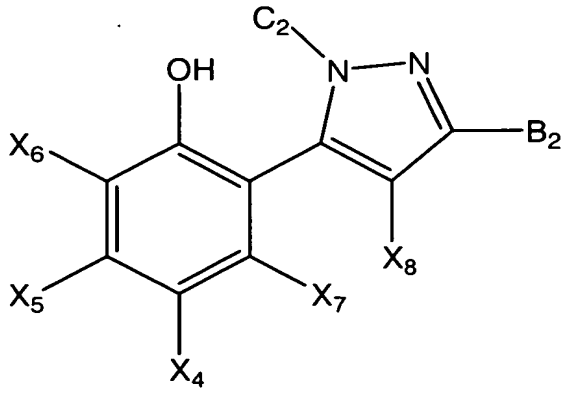
(iii)when X_2 is methyl, then X_3 is not nitro or chloro.

13. The method according to claim 12, said compound has one or more of the features selected from the group:

(a) X_3 is halo, CF_3, or NO_2; and

(b) X_2 is halo, CF_3,methyl, ethyl, propyl, or $CONH_2$.

14. The method according to claim 1, wherein said compound has formula (IV):

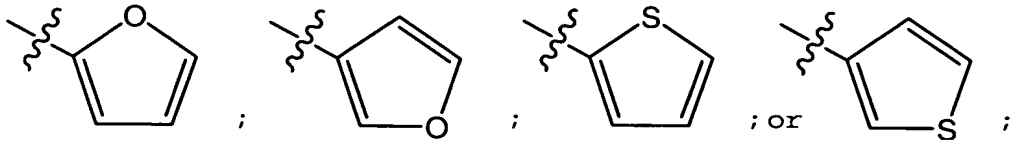


(IV);

or a pharmaceutically acceptable salt thereof;

wherein:

B_2 is selected from:



(a) (b) (c) (d)

C_2 is H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

each of X_4, X_5, X_6, X_7, and X_8 is selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

wherein each of B_2 and C_2 optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$,

$SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CF_3, OCF_3, OH, $SCHF_2$, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic), or O-aliphatic; and

R^8 is an amino protecting group;
provided that:

(i) when B_2 is structure (a), X_5, X_6, and C_2 are H, then X_4 is not H, Cl, CH_3, or OCH_3;

(ii) when B_2 is structure (c), X_5, X_6, and C_2 is H, then X_4 is not H or CH_3;

(iii) when B_2 is structure (a), X_4 is Cl or CH_3, X_5 and C_2 are H, then X_6 is not NO_2, Cl, or Br;

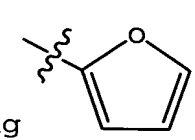
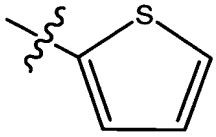
(iv) when B_2 is structure (a), X_4 is Cl, X_5 and X_6 are H, then C_2 is not Ph, -C(O)CH3, -C(O)Ph, or -C(O)NHPh;

(v) when B_2 is structure (a), X_4 is CH_3, X_5 and X_6 is H; then C_2 is not Ph;

(vi) when B_2 is structure (a), X_4, X_5, and X_6 is H, then C_2 is not CH_3, $C(O)CH_3$, or -C(O)-NHPh;

(vii) when B_2 is structure (c), X_4, X_5, and X_6 is H, then C_2 is not CH_3 or $C(O)CH_3$;

(viii) when B_2 is structure (a), X_4 is Cl, X_5 is H, X_6 is NO_2 or Br, then X_2 is not Ph, $C(O)CH_3$, or C(O)Ph.

15. The method according to claim 14, wherein B_2 is optionally substituted ring  (a) or  (c).

16. The method according to claim 15, wherein X_8 and C_2 are H.

17. The method according to claim 16, wherein compounds of formula (IV) have one or more of the features selected from the group:

(a) B_2 is:

5-(3'-trifluoromethylphenyl)-furan-2-yl;

5-trifluoromethyl-2-methyl-furan-3-yl;

5-t-butyl-2-methyl-furan-3-yl;

5-methyl-2-trifluoromethyl-furan-3-yl; or

5-(4'-methylsulfonylphenyl)-furan-2-yl;

(b) C_2 is H or phenyl;

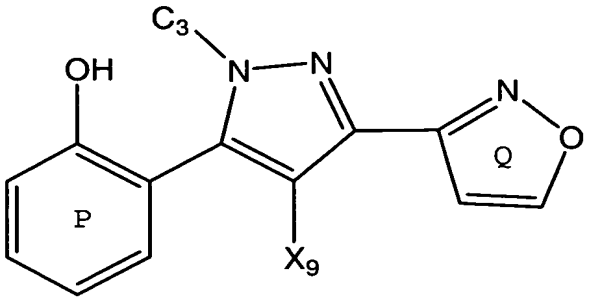
(c) X_4 is halo, (C1-C4)alkyl, CF_3, CN, or NO_2;

(d) X_5, X_6, and X_7 are H; and

(e) X_8 is H.

18. The method according to claim 16, wherein X_4, X_5, X_6, and X_7, taken together with the hydroxyphenyl group, is selected from 2-hydroxy-5-methoxyphenyl, 2-hydroxy-5-methylphenyl, 2-hydroxy-5-fluorophenyl, 2-hydroxy-5-ethylphenyl, 2-hydroxy-5-propylphenyl, 2-hydroxy-5-chlorophenyl, 2-hydroxy-5-isopropylphenyl, 2-hydroxy-5-tetrazol-2H-3-ylphenyl, 2-hydroxy-5-bromophenyl 2-hydroxy-5-methylsulfonylphenyl, or 2-hydroxy-5-amidophenyl.

19. The method according to claim 1, wherein said compound has formula (V):



(V);

or a pharmaceutically acceptable salt thereof;

wherein:

C_3 is H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_9 is selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

wherein each of ring P, optionally including the hydroxyl group, and ring Q optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic; wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

R^8 is an amino protecting group.

20. The method according to claim 19, wherein X_9 and C_3 are H.

21. The method according to claim 20, wherein, said compound has one or more of the features selected from the group:

(a) C_3 is H or phenyl;

(b) ring Q is isoxazol-3-yl or 5-methyl-isoxazol-3-yl;

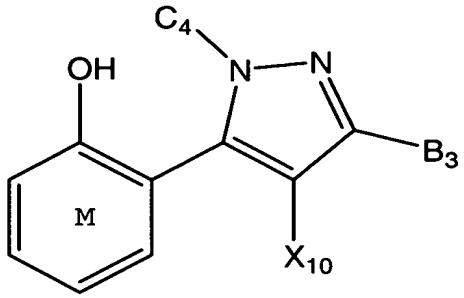
(c) X_9 is H; and

(d) ring P together with the hydroxy substituent is selected from:

2-hydroxy-5-methoxyphenyl,
2-hydroxy-5-methylphenyl,
2-hydroxy-5-fluorophenyl,
2-hydroxy-5-ethylphenyl,
2-hydroxy-5-propylphenyl,

2-hydroxy-5-chlorophenyl,
2-hydroxy-5-isopropylphenyl,
2-hydroxy-5-tetrazol-2H-3-ylphenyl,
2-hydroxy-5-bromophenyl,
2-hydroxy-5-methylsulfonylphenyl, or
2-hydroxy-5-amidophenyl.

22. The method according to claim 1, wherein said compound has formula (VI):

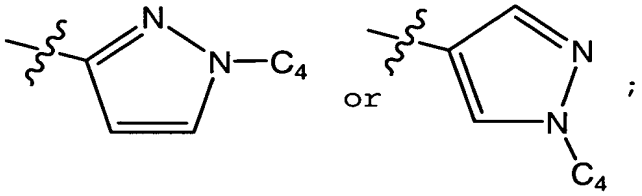


(VI);

or a pharmaceutically acceptable salt thereof;

wherein:

B_3 is selected from:



(a) (b)

C_4 is H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;;

X_{10} is selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

wherein each of ring M, optionally including the hydroxyl group, C_4, and B_3 optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

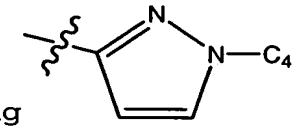
R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CF_3, OCF_3, OH, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

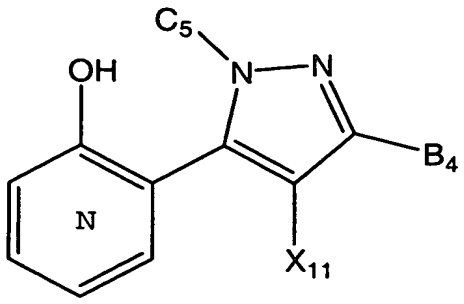
R^8 is an amino protecting group.

23. The method according to claim 22, wherein X_{10} and C_4 are H.

24. The method according to claim 23, wherein B_3 is optionally substituted ring  (a).

25. The method according to claim 24, wherein, ring M, together with the 2-hydroxy group, is selected from 2-hydroxy-5-methoxyphenyl, 2-hydroxy-5-methylphenyl, 2-hydroxy-5-fluorophenyl, 2-hydroxy-5-ethylphenyl, 2-hydroxy-5-propylphenyl, 2-hydroxy-5-chlorophenyl, 2-hydroxy-5-isopropylphenyl, 2-hydroxy-5-tetrazol-2H-3-ylphenyl, 2-hydroxy-5-bromophenyl, 2-hydroxy-5-methyl sulfonylphenyl, or 2-hydroxy-5-amidophenyl.

26. The method according to claim 1, wherein said compound has formula (VII):

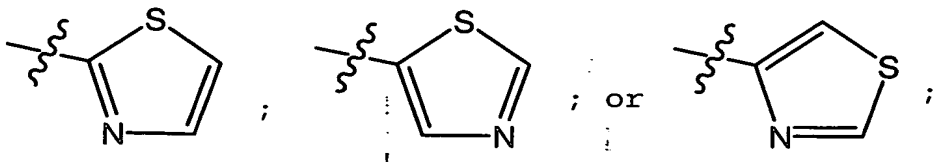


(VII);

or a pharmaceutically acceptable salt thereof;

wherein:

B_4 is selected from:



(a) (b) (c)

C_5 is H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_{11} is selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

wherein each of ring N, optionally including the hydroxyl group, C_5, and B_4 optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched

alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CF_3, OCF_3, OH, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

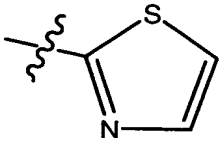
R^8 is an amino protecting group;

provided that:

(a) when C_5 is H, X_{11} is H, ring N is 2-hydroxy-4-methoxyphenyl, then B_4 is not 2-methylthiazol-4-yl;

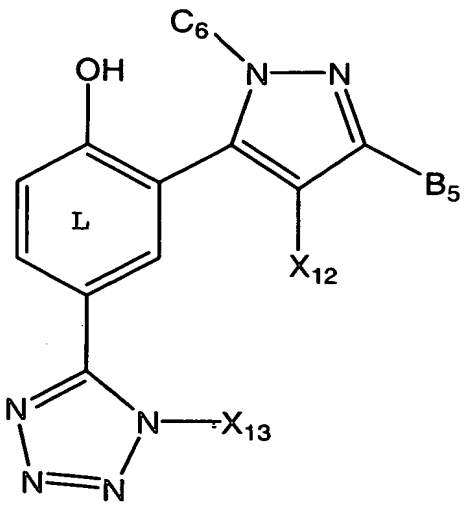
(b) when C_5 is H, X_{11} is H, ring N is 2-hydroxy-4,5-dimethylphenyl, then B_4 is not 2-methylthiazol-4-yl.

27. The method according to claim 26, wherein X_{11} and C_5 are H.

28. The method according to claim 27, wherein B_4 is optionally substituted  (a).

29. The method according to claim 27, wherein ring N, together with the 2-hydroxy group, is selected from 2-hydroxy-5-methoxyphenyl, 2-hydroxy-5-methylphenyl, 2-hydroxy-5-fluorophenyl, 2-hydroxy-5-ethylphenyl, 2-hydroxy-5-propylphenyl, 2-hydroxy-5-chlorophenyl, 2-hydroxy-5-isopropylphenyl, 2-hydroxy-5-tetrazol-2H-3-ylphenyl, 2-hydroxy-5-bromophenyl, 2-hydroxy-5-methylsulfonylphenyl, 2-hydroxy-5-amidophenyl, 2-hydroxy-6-methoxyphenyl, 2-hydroxy-4,6-dimethylphenyl, 2-hydroxy-4,5-dimethylphenyl, 2-hydroxy-4-methylphenyl, or 2-hydroxy-4-fluorophenyl.

30. The method according to claim 1, wherein said compound has formula (VIII):



(VIII);

or a pharmaceutically acceptable salt thereof, wherein:

B_5 is optionally substituted aryl, heteroaryl, cycloaliphatic, or heterocyclyl;

C_6 and X_{13} each is independently selected from H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_{12} is selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

wherein each of ring L, including the hydroxyl group, C_6, and B_5 optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3

substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CF_3, OCF_3, OH, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

R^8 is an amino protecting group.

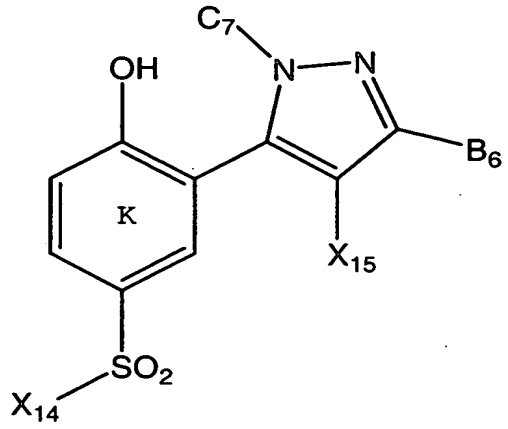
31. The method according to claim 30, wherein X_{12}, X_{13}, and C_6 is phenyl.

32. The method according claim 31, wherein B_5 is optionally substituted phenyl.

33. The method according to claim 31, wherein B_5 is selected from 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,4-dimethoxy-phenyl, 3,4-dimethoxy-phenyl, 3,5-dimethoxy-phenyl, 4-hydroxyphenyl, 3-hydroxyphenyl, 2-hydroxyphenyl, 2-chloro-phenyl, 4-chloro-phenyl, 2,6-dichloro-phenyl, 4-fluoro-phenyl, 3-fluoro-phenyl, 2-fluoro-phenyl, 3,4-difluoro-phenyl, 2,6-difluoro-phenyl, phenyl, 4-butoxy-phenyl, 2-ethoxy-phenyl, 2-nitro-phenyl, 3-nitro-phenyl, 4-nitro-phenyl, 2-trifluoromethoxy-phenyl, 3-trifluoromethoxy-phenyl, 4-trifluoromethoxy-phenyl, 2-trifluoromethyl-phenyl, 4-trifluoromethyl-phenyl, 5-(3-trifluoromethyl-phenyl)-furan-2-yl, 4-benzyloxy-phenyl, 3-methyl-4-trifluoromethyl-phenyl, 2-methyl-phenyl, 3-methyl-phenyl, 4-methyl-phenyl, benzo[1,3]dioxol-5-yl, pyridin-3-yl, pyridin-4-yl, thiophen-2-yl, 2-pyridin-4-yl-phenyl, 2-benzonitrile, 1-phenyl-4-trifluoromethyl-1H-pyrazolyl, 4-bromophenyl, 2-methylsulfanyl-pyridin-3-yl, 2-ethylsulfanyl-pyridin-3-yl, 2-propylsulfanyl-pyridin-3-yl, 2-benzoic acid methyl ester, *N*-3-phenyl-acetamide, 2-methyl-5-trifluoromethyl-furan-3-yl, 5-Methyl-2-trifluoromethyl-furan-3-yl), 5-*tert*-butyl-2-methyl-furan-

3-yl, 3-chloro-4-fluoro-phenyl, 2,3-dimethyl-phenyl, 2,6-difluoro-3-methyl-phenyl, 2-(4-nitro-phenyl)-5-trifluoromethyl-pyrazolyl-5-yl, 4-tert-butyl-phenyl, 4-dimethylamino-phenyl, cyclohexyl, 4-methoxy-3-trifluoromethyl-phenyl; 2-methyl-3-trifluoromethyl-phenyl, 2-amino-phenyl, 5-(4-methanesulfonyl-phenyl)-furan-2-yl, 2-phenoxy-pyridin-3-yl; 2-difluoromethylsulfanyl-phenyl, N,N-diethyl-4-benzenesulfonamide, 2-phenoxy-phenyl, 2,4,6-trimethyl-phenyl, 2-(4-chloro-phenylsulfanyl)-pyridin-3-yl], 5-chloro-2-trifluoromethyl-phenyl, 5-methyl-2-trifluoromethyl-furan-3-yl, 5-(2,3-dihydro-benzofuran-6-yl)-4-methyl-thiazol-2-yl, 2-fluoro-4-trifluoromethyl-phenyl, 2-fluoro-4-methoxy-phenyl, 2-ethoxy-pyridin-3-yl, 5-methyl-isoxazol-3-yl), 4-benzoic acid, 2,2-difluoro-benzo[1,3]dioxol-5-yl, benzoic acid 2-benzyl ester, 5-benzo[1,3]dioxol-4-yl.

34. The method according to claim 1, wherein said compound has formula (IX):



(IX);

or a pharmaceutically acceptable salt thereof, wherein:

B_6 is phenyl;

C_7 is selected from H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_{14} is R^2, R^3, NHR^2, NHR^3, NR^2R^3, $N(R^2)_2$;

X_{15} is selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

wherein each of ring K, optionally including the hydroxyl group, C_7, and B_6 optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$,

$NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CF_3, OCF_3, OH, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

R^8 is an amino protecting group.

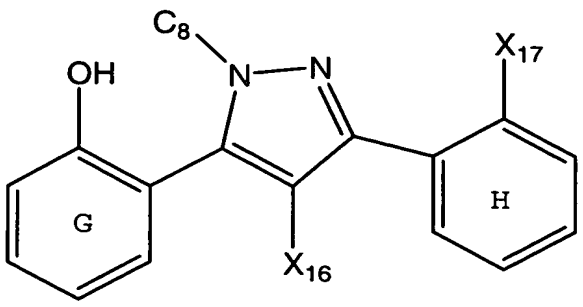
35. The method according to claim 34, wherein X_{15} and C_7 are phenyl.

36. The method according to claim 35, wherein X_{14} is selected from optionally substituted (C1-C6)aliphatic, aryl, NH(C1-C6)aliphatic, NH(aryl), or NH_2. Preferred X_{14} include optionally substituted (C1-C4)-alkyl, phenyl, NH[(C1-C4)-alkyl], NH(phenyl), or NH_2.

37. The method according to claim 36, wherein B_6 is selected from 2-methoxyphenyl, 3-methoxyphenyl, 4-

methoxyphenyl, 2,4-dimethoxy-phenyl, 3,4-dimethoxy-phenyl, 3,5-dimethoxy-phenyl, 4-hydroxyphenyl, 3-hydroxyphenyl, 2-hydroxyphenyl, 2-chloro-phenyl, 4-chloro-phenyl, 2,6-dichloro-phenyl, 4-fluoro-phenyl, 3-fluoro-phenyl, 2-fluoro-phenyl, 3,4-difluoro-phenyl, 2,6-difluoro-phenyl, phenyl, 4-butoxy-phenyl, 2-ethoxy-phenyl, 2-nitro-phenyl,
3-nitro-phenyl, 4-nitro-phenyl, 2-trifluoromethoxy-phenyl, 3-trifluoromethoxy-phenyl, 4-trifluoromethoxy-phenyl, 2-trifluoromethyl-phenyl, 4-trifluoromethyl-phenyl, 5-(3-trifluoromethyl-phenyl)-furan-2-yl,
4-benzyloxy-phenyl, 3-methyl-4-trifluoromethyl-phenyl,
2-methyl-phenyl, 3-methyl-phenyl, 4-methyl-phenyl,
benzo[1,3]dioxol-5-yl, pyridin-3-yl, pyridin-4-yl,
2-benzonitrile, 1-phenyl-4-trifluoromethyl-1H-pyrazolyl,
4-bromophenyl, 2-benzoic acid methyl ester, *N*-3-phenyl-acetamide, 3-chloro-4-fluoro-phenyl, 2,3-dimethyl-phenyl,
2,6-difluoro-3-methyl-phenyl, 4-tert-butyl-phenyl, 4-dimethylamino-phenyl, 4-methoxy-3-trifluoromethyl-phenyl,
2-methyl-3-trifluoromethyl-phenyl, 2-amino-phenyl, 5-(4-methanesulfonyl-phenyl)-furan-2-yl, 2-difluoromethyl sulfanyl-phenyl, N,N-diethyl-4-benzenesulfonamide, 2-phenoxy-phenyl, 2,4,6-trimethyl-phenyl, 5-chloro-2-trifluoromethyl-phenyl, 2-fluoro-4-trifluoromethyl-phenyl, 2-fluoro-4-methoxy-phenyl, 4-benzoic acid, 2,2-difluoro-benzo[1,3]dioxol-5-yl, benzoic acid 2-benzyl ester.

38. The method according to claim 1, wherein said compound has formula (X):



(X);

or a pharmaceutically acceptable salt thereof;

wherein:

C_8 is selected from H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_{16} is selected from selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

X_{17} is CN, tetrazolyl, SO_2R^2, SO_2R^3, SO_2NHR^2, SO_2NHR^3, $SO_2NR^2R^3$, $SO_2N(R^2)_2$;

wherein each of ring G, optionally including the hydroxyl group, C_8, and ring H optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3

substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CF_3, OCF_3, OH, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

R^8 is an amino protecting group.

39. The method according to claim 38, wherein X_{16} and C_8 are H.

40. The method according to claim 39, wherein X_{17} is CN, SO_2[(C1-C6)aliphatic], SO_2(phenyl), SO_2NH[(C1-C6)aliphatic], or SO_2NH(phenyl).

41. The method according to claim 1, wherein said ABC-transporter or a fragment thereof is *in vivo*.

42. The method according to claim 1, wherein said ABC-transporter or a fragment thereof is *in vitro*.

43. The method according to claim 41 or 42, wherein said ABC-transporter is CFTR.

44. A method of treating an ABC transporter mediated disease in a mammal, comprising the step of administering to said mammal a composition comprising the step of administering to said mammal a composition comprising a compound according to any one of claims 1-40.

45. The method according to claim 44, wherein said disease is selected from immunodeficiency disorder, inflammatory disease, allergic disease, autoimmune disease, destructive bone disorder, proliferative disorder, infectious disease or viral disease.

46. The method according to claim 45, wherein said disease is selected from Tangier's disease, stargardt disease 1, age related macular dystrophy 2, retinintis pigmentosa, dry eye disease, bare lymphocyte syndrome, PFIC-3, anemia, progressive intrahepatic cholestasis-2, Dublin-Johnson syndrome, Pseudoxanthoma elasticum, cystic fibrosis, familial persistent hyperinsulinemic hyproglycemia of infancy, adrenolecukodystrophy, sitosterolemia, chronic obstructive pulmonary disease, asthma, disseminated bronchiectasis, chronic pancreatitis, male infertility, emphysema, or pneumonia.

47. The method according to claim 46, wherein said disease is cystic fibrosis.

48. The method according to claim 45, wherein said disease is secretory diarrhea or polycystic kidney disease in a mammal.

49. A pharmaceutical composition comprising:

(i) a compound according to claim 1;

(ii) a pharmaceutically acceptable carrier; and

(iii) an additional agent selected from a mucolytic agent, bronchodialator, an anti-biotic, an anti-infective agent, an anti-inflammatory agent, CFTR corrector, or a nutritional agent.

50. A kit for use in measuring the activity of a ABC transporter or a fragment thereof in a biological sample *in vitro* or *in vivo*, comprising:

(i) a composition comprising a compound of formula (I); and

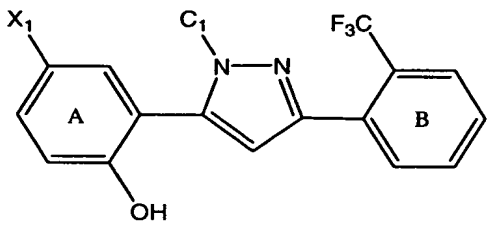
(ii) instructions for:

a) contacting the composition with the biological sample;

b) measuring activity of said ABC transporter or a fragment thereof.

51. The kit according to claim 26, wherein aid ABC transporter is CFTR.

52. A compound of formula (II):



(II);

or a pharmaceutically acceptable salt thereof, wherein:

C_1 is H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_1 is selected from halo, R^2, CF_3, CN, COOH, COOR, C(O)R, $C(O)NH_2$, C(O)NHR, or $C(O)N(R)_2$;

each R is independently R^2 or R^3;

wherein each of ring B, optionally including X_1 and OH, and C_1 optionally comprises up to 4 substituents, and ring A optionally comprises up to 3 substituents, wherein said substituents are independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CF_3, CHF_2, CH_2F, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$ or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

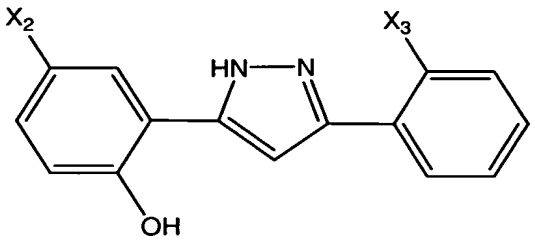
Z is selected from halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic), or O-aliphatic; and

R^8 is an amino protecting group.

53. The compound according to claim 52, wherein C_1 is H.

54. The compound according to claim 53, wherein X_1 is selected from (C1-C4)-aliphatic, or C(O)-NH_2.

55. A compound having formula (III):



(III);

or a pharmaceutically acceptable salt thereof, wherein:

X_2 is selected from halo, R^2, CF_3, CN, COOH, $COOR^2$, $COOR^3$, C(O)R^2, C(O)R^3, C(O)NH_2, C(O)NHR, or C(O)NR^2;

X_3 is selected from H, halo, CF_3, or NO_2;

each R is independently R^2 or R^3;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$ or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N'(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

R^8 is an amino protecting group;
provided that:

(i) when X_3 is H, then X_2 is not methyl, chloro, or bromo;

(ii) when X_2 is chloro, then X_3 is not fluoro, chloro, or nitro;

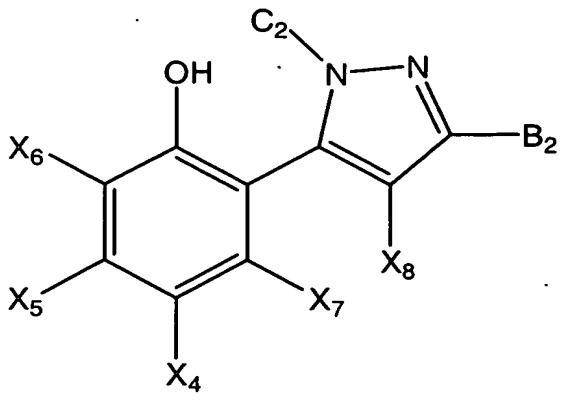
(iii)when X_2 is methyl, then X_3 is not nitro or chloro.

56. The compound according to claim 55, wherein said compound has one or more of the features selected from the group:

(a) X_3 is halo, CF_3, or NO_2; and

(b) X_2 is halo, CF_3,methyl, ethyl, propyl, or $CONH_2$.

57. A compound of formula (IV):

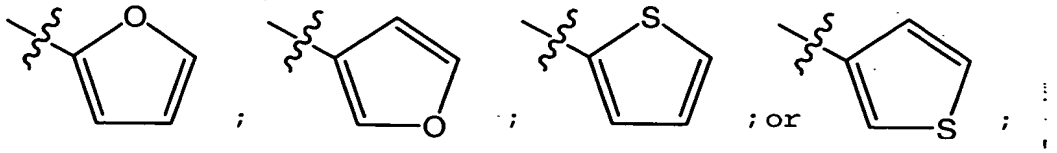


(IV);

or a pharmaceutically acceptable salt thereof;

wherein:

B_2 is selected from:



(a) (b) (c) (d)

C_2 is H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

each of X_4, X_5, X_6, X_7, and X_8 is selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

wherein each of B_2 and C_2 optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$; $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched

alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CF_3, OCF_3, OH, $SCHF_2$, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic), or O-aliphatic; and

R^8 is an amino protecting group;

provided that:

(i) when B_2 is structure (a), X_5, X_6, and C_2 are H, then X_4 is not H, Cl, CH_3, or OCH_3;

(ii) when B_2 is structure (c), X_5, X_6, and C_2 is H, then X_4 is not H or CH_3;

(iii) when B_2 is structure (a), X_4 is Cl or CH_3, X_5 and C_2 are H, then X_6 is not NO_2, Cl, or Br;

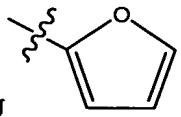
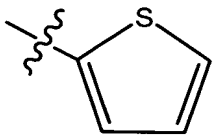
(iv) when B_2 is structure (a), X_4 is Cl, X_5 and X_6 are H, then C_2 is not Ph, -C(O)CH3, -C(O)Ph, or -C(O)NHPh;

(v) when B_2 is structure (a), X_4 is CH_3, X_5 and X_6 is H; then C_2 is not Ph;

(vi) when B_2 is structure (a), X_4, X_5, and X_6 is H, then C_2 is not CH_3, $C(O)CH_3$, or -C(O)-NHPh;

(vii) when B_2 is structure (c), X_4, X_5, and X_6 is H, then C_2 is not CH_3 or $C(O)CH_3$;

(viii) when B_2 is structure (a), X_4 is Cl, X_5 is H, X_6 is NO_2 or Br, then X_2 is not Ph, $C(O)CH_3$, or C(O)Ph.

58. The compound according to claim 57, wherein B_2 is optionally substituted ring  (a) or  (c).

59. The compound according to claim 58, wherein X_8 and C_2 are H.

60. The compound according to claim 59, wherein said compound has one or more of the features selected from the group:

(a) B_2 is:

5-(3'-trifluoromethylphenyl)-furan-2-yl;

5-trifluoromethyl-2-methyl-furan-3-yl;

5-t-butyl-2-methyl-furan-3-yl;

5-methyl-2-trifluoromethyl-furan-3-yl; or

5-(4'-methylsulfonylphenyl)-furan-2-yl;

(b) C_2 is H or phenyl;

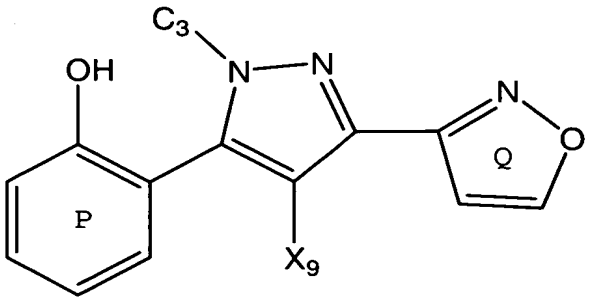
(c) X_4 is halo, (C1-C4)alkyl, CF_3, CN, or NO_2;

(d) X_5, X_6, and X_7 are H; and

(e) X_8 is H.

61. The compound according to claim 60, wherein X_4, X_5, X_6, and X_7, taken together with the hydroxyphenyl group, is selected from 2-hydroxy-5-methoxyphenyl, 2-hydroxy-5-methylphenyl, 2-hydroxy-5-fluorophenyl, 2-hydroxy-5-ethylphenyl, 2-hydroxy-5-propylphenyl, 2-hydroxy-5-chlorophenyl, 2-hydroxy-5-isopropylphenyl, 2-hydroxy-5-tetrazol-2H-3-ylphenyl, 2-hydroxy-5-bromophenyl 2-hydroxy-5-methylsulfonylphenyl, or 2-hydroxy-5-amidophenyl.

62. A compound of formula (V):



(V);

or a pharmaceutically acceptable salt thereof;

wherein:

C_3 is H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_9 is selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

wherein each of ring P, optionally including the hydroxyl group, and ring Q optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$,

$NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

R^8 is an amino protecting group.

63. The compound according to claim 62, wherein X_9 and C_3 are H.

64. The method according to claim 63, wherein, said compound has one or more of the features selected from the group:

(a) C_3 is H or phenyl;

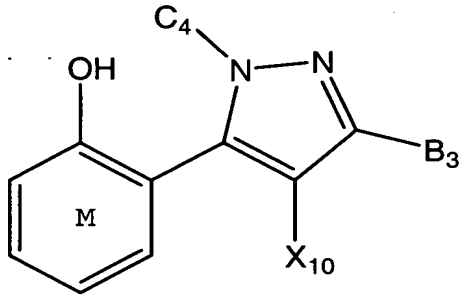
(b) ring Q is isoxazol-3-yl or 5-methyl-isoxazol-3-yl;

(c) X_9 is H; and

(d) ring P together with the hydroxy substituent is selected from:

2-hydroxy-5-methoxyphenyl,
2-hydroxy-5-methylphenyl,
2-hydroxy-5-fluorophenyl,
2-hydroxy-5-ethylphenyl,
2-hydroxy-5-propylphenyl,
2-hydroxy-5-chlorophenyl,
2-hydroxy-5-isopropylphenyl,
2-hydroxy-5-tetrazol-2H-3-ylphenyl,
2-hydroxy-5-bromophenyl,
2-hydroxy-5-methylsulfonylphenyl, or
2-hydroxy-5-amidophenyl.

65. A compound of formula (VI):

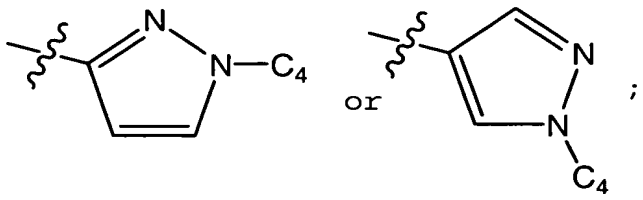


(VI);

or a pharmaceutically acceptable salt thereof;

wherein:

B_3 is selected from:



(a) (b)

C_4 is H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;;

X_{10} is selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

wherein each of ring M, optionally including the hydroxyl group, C_4, and B_3 optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$,

$NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

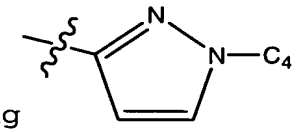
R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

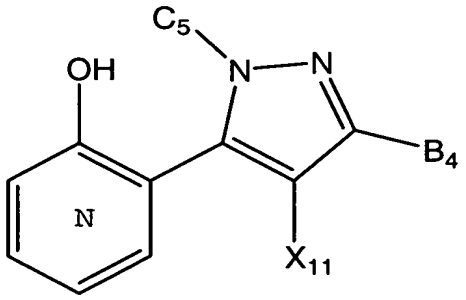
Z is selected from halo, CN, NO_2, CF_3, OCF_3, OH, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

R^8 is an amino protecting group.

66. The compound according to claim 65, wherein B_3 is optionally substituted ring  (a).

67. The compound according to claim 66, wherein, ring M, together with the 2-hydroxy group, is selected from 2-hydroxy-5-methoxyphenyl, 2-hydroxy-5-methylphenyl, 2-hydroxy-5-fluorophenyl, 2-hydroxy-5-ethylphenyl, 2-hydroxy-5-propylphenyl, 2-hydroxy-5-chlorophenyl, 2-hydroxy-5-isopropylphenyl, 2-hydroxy-5-tetrazol-2H-3-ylphenyl, 2-hydroxy-5-bromophenyl, 2-hydroxy-5-methyl sulfonylphenyl, or 2-hydroxy-5-amidophenyl.

68. A compound of formula (VII):

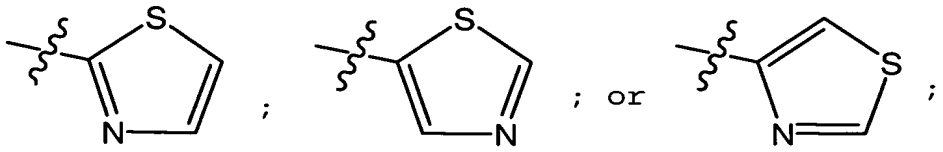


(VII);

or a pharmaceutically acceptable salt thereof;

wherein:

B_4 is selected from:



(a) (b) (c)

C_5 is H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_{11} is selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

wherein each of ring N, optionally including the hydroxyl group, C_5, and B_4 optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CF_3, OCF_3, OH, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

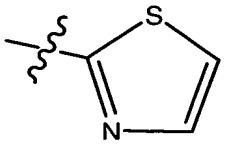
R^8 is an amino protecting group;

provided that:

(a) when C_5 is H, X_{11} is H, ring N is 2-hydroxy-4-methoxyphenyl, then B_4 is not 2-methylthiazol-4-yl;

(b) when C_5 is H, X_{11} is H, ring N is 2-hydroxy-4,5-dimethylphenyl, then B_4 is not 2-methylthiazol-4-yl.

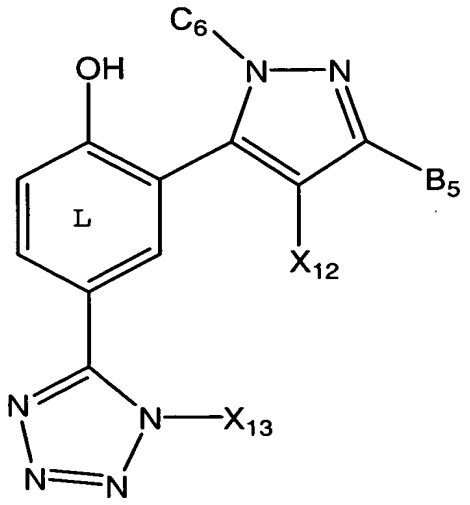
69. The compound according to claim 68, wherein X_{11} and C_5 are H.

70. The compound according to claim 69, wherein B_4 is optionally substituted  (a).

71. The compound according to claim 70, wherein ring N, together with the 2-hydroxy group, is selected from 2-hydroxy-5-methoxyphenyl, 2-hydroxy-5-methylphenyl, 2-hydroxy-5-fluorophenyl, 2-hydroxy-5-ethylphenyl, 2-hydroxy-5-propylphenyl, 2-hydroxy-5-chlorophenyl, 2-

hydroxy-5-isopropylphenyl, 2-hydroxy-5-tetrazol-2H-3-ylphenyl, 2-hydroxy-5-bromophenyl, 2-hydroxy-5-methylsulfonylphenyl, 2-hydroxy-5-amidophenyl, 2-hydroxy-6-methoxyphenyl, 2-hydroxy-4,6-dimethylphenyl, 2-hydroxy-4,5-dimethylphenyl, 2-hydroxy-4-methylphenyl, or 2-hydroxy-4-fluorophenyl.

72. A compound of formula (VIII):



(VIII);

or a pharmaceutically acceptable salt thereof, wherein:

B_5 is optionally substituted aryl, heteroaryl, cycloaliphatic, or heterocyclyl;

C_6 and X_{13} each is independently selected from H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_{12} is selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

wherein each of ring L, including the hydroxyl group, C_6, and B_5 optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CF_3, OCF_3, OH, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

R^8 is an amino protecting group.

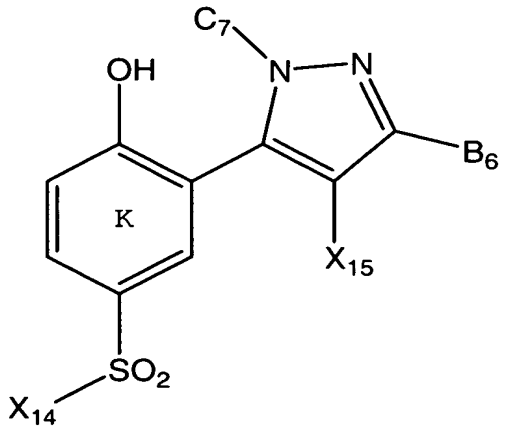
73. The compound according to claim 72, wherein X_{12}, X_{13}, and C_6 is phenyl.

74. The compound according claim 73, wherein B_5 is optionally substituted phenyl.

75. The compound according to claim 74, wherein B_5 is selected from 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,4-dimethoxy-phenyl, 3,4-dimethoxy-phenyl, 3,5-dimethoxy-phenyl, 4-hydroxyphenyl, 3-hydroxyphenyl, 2-hydroxyphenyl, 2-chloro-phenyl, 4-chloro-phenyl, 2,6-dichloro-phenyl, 4-fluoro-phenyl, 3-fluoro-phenyl, 2-fluoro-phenyl, 3,4-difluoro-phenyl, 2,6-difluoro-phenyl, phenyl, 4-butoxy-phenyl, 2-ethoxy-phenyl, 2-nitro-phenyl, 3-nitro-phenyl, 4-nitro-phenyl, 2-trifluoromethoxy-phenyl, 3-trifluoromethoxy-phenyl, 4-trifluoromethoxy-phenyl, 2-trifluoromethyl-phenyl, 4-trifluoromethyl-phenyl, 5-(3-trifluoromethyl-phenyl)-furan-2-yl, 4-benzyloxy-phenyl, 3-methyl-4-trifluoromethyl-phenyl, 2-methyl-phenyl, 3-methyl-phenyl, 4-methyl-phenyl, benzo[1,3]dioxol-5-yl, pyridin-3-yl, pyridin-4-yl, thiophen-2-yl, 2-pyridin-4-yl-phenyl, 2-

benzonitrile, 1-phenyl-4-trifluoromethyl-1H-pyrazolyl, 4-bromophenyl, 2-methylsulfanyl-pyridin-3-yl, 2-ethylsulfanyl-pyridin-3-yl, 2-propylsulfanyl-pyridin-3-yl, 2-benzoic acid methyl ester, *N*-3-phenyl-acetamide, 2-methyl-5-trifluoromethyl-furan-3-yl, 5-Methyl-2-trifluoromethyl-furan-3-yl), 5-*tert*-butyl-2-methyl-furan-3-yl, 3-chloro-4-fluoro-phenyl, 2,3-dimethyl-phenyl, 2,6-difluoro-3-methyl-phenyl, 2-(4-nitro-phenyl)-5-trifluoromethyl-pyrazolyl-5-yl, 4-tert-butyl-phenyl, 4-dimethylamino-phenyl, cyclohexyl, 4-methoxy-3-trifluoromethyl-phenyl; 2-methyl-3-trifluoromethyl-phenyl, 2-amino-phenyl, 5-(4-methanesulfonyl-phenyl)-furan-2-yl, 2-phenoxy-pyridin-3-yl; 2-difluoromethylsulfanyl-phenyl, N,N-diethyl-4-benzenesulfonamide, 2-phenoxy-phenyl, 2,4,6-trimethyl-phenyl, 2-(4-chloro-phenylsulfanyl)-pyridin-3-yl], 5-chloro-2-trifluoromethyl-phenyl, 5-methyl-2-trifluoromethyl-furan-3-yl, 5-(2,3-dihydro-benzofuran-6-yl)-4-methyl-thiazol-2-yl, 2-fluoro-4-trifluoromethyl-phenyl, 2-fluoro-4-methoxy-phenyl, 2-ethoxy-pyridin-3-yl, 5-methyl-isoxazol-3-yl), 4-benzoic acid, 2,2-difluoro-benzo[1,3]dioxol-5-yl, benzoic acid 2-benzyl ester, 5-benzo[1,3]dioxol-4-yl.

76. A compound of formula (IX):



(IX);

or a pharmaceutically acceptable salt thereof, wherein:

B_6 is phenyl;

C_7 is selected from H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_{14} is R^2, R^3, NHR^2, NHR^3, NR^2R^3, $N(R^2)_2$;

X_{15} is selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

wherein each of ring K, optionally including the hydroxyl group, C_7, and B_6 optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$,

$C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CF_3, OCF_3, OH, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

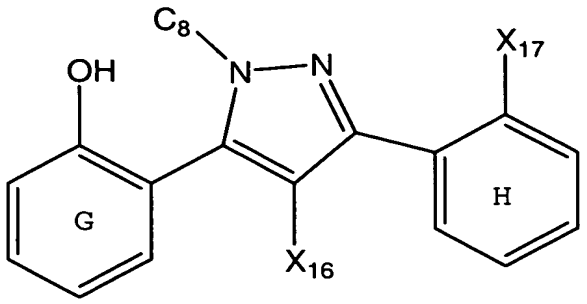
R^8 is an amino protecting group.

77. The compound according to claim 76, wherein X_{15} and C_7 are phenyl.

78. The compound according to claim 77, wherein X_{14} is selected from optionally substituted (C1-C4)-alkyl, phenyl, NH[(C1-C4)-alkyl], NH(phenyl), or NH_2.

79. The compound according to claim 78, wherein B_6 is selected from 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,4-dimethoxy-phenyl, 3,4-dimethoxy-phenyl, 3,5-dimethoxy-phenyl, 4-hydroxyphenyl, 3-hydroxyphenyl, 2-hydroxyphenyl, 2-chloro-phenyl, 4-chloro-phenyl, 2,6-dichloro-phenyl, 4-fluoro-phenyl, 3-fluoro-phenyl, 2-fluoro-phenyl, 3,4-difluoro-phenyl, 2,6-difluoro-phenyl, phenyl, 4-butoxy-phenyl, 2-ethoxy-phenyl, 2-nitro-phenyl, 3-nitro-phenyl, 4-nitro-phenyl, 2-trifluoromethoxy-phenyl, 3-trifluoromethoxy-phenyl, 4-trifluoromethoxy-phenyl, 2-trifluoromethyl-phenyl, 4-trifluoromethyl-phenyl, 5-(3-trifluoromethyl-phenyl)-furan-2-yl, 4-benzyloxy-phenyl, 3-methyl-4-trifluoromethyl-phenyl, 2-methyl-phenyl, 3-methyl-phenyl, 4-methyl-phenyl, benzo[1,3]dioxol-5-yl, pyridin-3-yl, pyridin-4-yl, 2-benzonitrile, 1-phenyl-4-trifluoromethyl-1H-pyrazolyl, 4-bromophenyl, 2-benzoic acid methyl ester, *N*-3-phenyl-acetamide, 3-chloro-4-fluoro-phenyl, 2,3-dimethyl-phenyl, 2,6-difluoro-3-methyl-phenyl, 4-tert-butyl-phenyl, 4-dimethylamino-phenyl, 4-methoxy-3-trifluoromethyl-phenyl, 2-methyl-3-trifluoromethyl-phenyl, 2-amino-phenyl, 5-(4-methanesulfonyl-phenyl)-furan-2-yl, 2-difluoromethyl sulfanyl-phenyl, N,N-diethyl-4-benzenesulfonamide, 2-phenoxy-phenyl, 2,4,6-trimethyl-phenyl, 5-chloro-2-trifluoromethyl-phenyl, 2-fluoro-4-trifluoromethyl-phenyl, 2-fluoro-4-methoxy-phenyl, 4-benzoic acid, 2,2-difluoro-benzo[1,3]dioxol-5-yl, benzoic acid 2-benzyl ester.

80. A compound of formula (X):



(X);

or a pharmaceutically acceptable salt thereof;

wherein:

C_8 is selected from H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH\ R^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_{16} is selected from selected from $(CH_2)_n$-Y, R^2, R^3, R^4, R^5 or R^6;

X_{17} is CN, tetrazolyl, SO_2R^2, SO_2R^3, SO_2NHR^2, SO_2NHR^3, $SO_2NR^2R^3$, $SO_2N(R^2)_2$;

wherein each of ring G, optionally including the hydroxyl group, C_8, and ring H optionally comprises up to 4 substituents independently selected from R^1, R^2, R^3, R^4, or R^5;

R^1 is oxo, R^6 or $(CH_2)_n$-Y;

n is 0, 1 or 2;

Y is halo, CN, NO_2, CHF_2, CH_2F, CF_3, OCF_3, OH, $SCHF_2$, SR^6, $S(O)R^6$, SO_2R^6, NH_2, NHR^6, $N(R^6)_2$, NR^6R^8, COOH, $COOR^6$, or OR^6; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1, R^4, or R^5;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3

substituents, independently selected from R^1, R^2, R^4 or R^5;

R^4 is OR^5, OR^6, $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6, SR^5, $S(O)R^6$, $S(O)R^5$, SO_2R^6, SO_2R^5, $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6, SO_3R^5, $C(O)R^5$, $C(O)OR^5$, $C(O)R^6$, $C(O)OR^6$, $C(O)N(R^6)_2$, $C(O)N(R^5)_2$, $C(O)N(R^5R^6)$, $C(O)N(OR^6)R^6$, $C(O)N(OR^5)R^6$, $C(O)N(OR^6)R^5$, $C(O)N(OR^5)R^5$, $C(NOR^6)R^6$, $C(NOR^6)R^5$, $C(NOR^5)R^6$, $C(NOR^5)R^5$, $N(R^6)_2$, $N(R^5)_2$, $N(R^5R^6)$, $NR^5C(O)R^5$, $NR^6C(O)R^6$, $NR^6C(O)R^5$, $NR^6C(O)OR^6$, $NR^5C(O)OR^6$, $NR^6C(O)OR^5$, $NR^5C(O)OR^5$, $NR^6C(O)N(R^6)_2$, $NR^6C(O)NR^5R^6$, $NR^6C(O)N(R^5)_2$, $NR^5C(O)N(R^6)_2$, $NR^5C(O)NR^5R^6$, $NR^5C(O)N(R^5)_2$, $NR^6SO_2R^6$, $NR^6SO_2R^5$, $NR^5SO_2R^5$, $NR^6SO_2N(R^6)_2$, $NR^6SO_2NR^5R^6$, $NR^6SO_2N(R^5)_2$, $NR^5SO_2NR^5R^6$, $NR^5SO_2N(R^5)_2$, $N(OR^6)R^6$, $N(OR^6)R^5$, $N(OR^5)R^5$, $N(OR^5)R^6$, $P(O)(OR^6)N(R^6)_2$, $P(O)(OR^6)N(R^5R^6)$, $P(O)(OR^6)N(R^5)_2$, $P(O)(OR^5)N(R^5R^6)$, $P(O)(OR^5)N(R^6)_2$, $P(O)(OR^5)N(R^5)_2$, $P(O)(OR^6)_2$, $P(O)(OR^5)_2$, or $P(O)(OR^6)(OR^5)$;

R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6)-straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n$-Z;

Z is selected from halo, CN, NO_2, CF_3, OCF_3, OH, S-aliphatic, S(O)-aliphatic, SO_2-aliphatic, NH_2, N-aliphatic, N(aliphatic)$_2$, N(aliphatic)R^8, COOH, C(O)O(-aliphatic, or O-aliphatic; and

R^8 is an amino protecting group.

81. The compound according to claim 80, wherein X_{16} and C_8 are H.

82. The compound according to claim 81, wherein X_{17} is CN, SO_2[(C1-C6)aliphatic], SO_2(phenyl), SO_2NH[(C1-C6)aliphatic], or SO_2NH(phenyl).

83. A compound selected from IA-6, IA-7, IA-20, IA-26, IA-31, IA-42, IA-50, IA-54, IA-61, IA-64, IA-76, IA-92, IA-95, or IA-107.

84. A pharmaceutical composition comprising a compound according to any one of claims 40-83, and a pharmaceutically acceptable carrier or adjuvant.